

## (19) World Intellectual Property **Organization**

International Bureau



# 

(43) International Publication Date 9 October 2003 (09.10.2003)

PCT

## (10) International Publication Number WO 2003/082260 A3

(51) International Patent Classification7: A61K 31/13, 31/195, 31/44, 31/155, 31/495, 31/15, C07D 211/60, 211/54, 295/18, 223/06, 207/12

(21) International Application Number:

PCT/EP2003/003215

(22) International Filing Date: 27 March 2003 (27.03.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

**GB** 0207495.3 28 March 2002 (28.03.2002) GB 0217149.4 24 July 2002 (24.07.2002) GB 0217305.2 25 July 2002 (25.07.2002)

(71) Applicant (for all designated States except US): SANDOZ GMBH [AT/AT]; Biochemiestrasse 10, A-6250 Kundl (AT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): ASCHER, Gerd [AT/AT]; Daxerfeld 3, A-6250 Kundl (AT). STAUFFER, Friedrich [AT/AT]; Trazerberggasse 6/4/C3, A-1130 Wien (AT). BERNER, Heinz [AT/AT]; Geyergasse 2a, A-1180 Wien (AT). MANG, Rosemarie [AT/AT]; Mayerweckstrasse 2-8/20/6, A-1210 Wien (AT).

- (74) Agent: GROS, Florent; Novartis AG, Corporate Intellectual Property, Patent & Trademark Department, CH-4002 Basel (CH).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.
- (84) Designated States (regional): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

#### Published:

with international search report

Date of publication of the international search report: 25 March 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: TUBERCULOSIS TREATMENT USING PLEUROMUTILIN DERIVATIVES

(57) Abstract: A method of preventing or treating diseases caused by Mycobacterium, comprising administering to a subject in need of such treatment an effective amount of a pleuromutilin.



PCT/EP 03/03215

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 A61K31/13 A61K A61K31/155 A61K31/495 A61K31/195 A61K31/44 .C07D211/54 .. C07D295/18 A61K31/15 C07D211/60 C07D223/06 C07D207/12 According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) A61K IPC 7 CO7D Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BIOSIS, EMBASE C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. WO 00 71560 A (SMITHKLINE BEECHAM PLC X ;SMITHKLINE BEECHAM CORP (US); HEGG LISA AN) 30 November 2000 (2000-11-30) page 13, line 10 - line 11 16 Y page 13, 1 ne 22 - line 23 page 22, line 17 figures 5,6 16 EP 0 013 768 A (SANDOZ AG) Υ 6 August 1980 (1980-08-06) page 6, column 7 - page 7, column 11 page 8, lines 5,6; examples 5,14-17 Further documents are listed in the continuation of box C. Patent family members are listed in annex. Special categories of cited documents: "T" later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the "A" document defining the general state of the art which is not considered to be of particular relevance Invention "E" earlier document but published on or after the international document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-"O" document referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled other means document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 1 2, 12, 03 29 July 2003 Authorized officer Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016

Loher, F.





Box I	Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)					
This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:						
1. X	Claims Nos.:  because they relate to subject matter not required to be searched by this Authority, namely:					
	Although claims 2-5 and 18 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.					
2.	Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:					
3.	Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).					
Box II	Observations where unity of invention is lacking-(Continuation of item 2 of first sheet)					
This Inte	ernational Searching Authority found multiple inventions in this international application, as follows:					
	see additional sheet					
1. [,	As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.					
2.	As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.					
3.	As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:					
4. X	No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:  1-5, 16					
Remar	k on Protest  The additional search fees were accompanied by the applicant's protest.					
	No protest accompanied the payment of additional search fees.					

### FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Claims Nos.: -

Rule 39.1(iv) PCT - Method for treatment of the human or animal body by therapy

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-5,16

Use of a 14-0-sulfanylacetatemutilin having a single bond between positions 1 and 2 for the manufacture of a medicament for the treatment of tuberculosis.

2. claims: 6 (partially), 7-9, 11 (partially), 12-15 (partially), 17 (partially) and 18 (partially)

Specific derivatives of 14-0-sulfanylacetatepleuromutilin having a carbocyclic moiety attached to the sulfur in common and their use as antimicrobials.

3. claims: 6 (partially), 10, 11 (partially), 12-15 (partially), 17 (partially) and 18 (partially)

Specific derivatives of 14-0-sulfanylacetatepleuromutilin having a heterocyclic moiety attached to the sulfur in common and their use as antimicrobials.



Int Pal Application No
PCT/EP 03/03215

				<del></del>
Patent document cited in search report	Publication date		Patent family member(s)	Publication . date
WO 0071560 A	30-11-2000	EP	1181305 A	27-02-2002
		JP	2003523729 T	12-08-2003
		WO	0071560 A	30-11-2000
EP 0013768 A	06-08-1980	AT	6062 7	15-02-1984
<b>2. 3.13.</b> 3.		AU	533691 B	32 08-12-1983
		AU	5453580 A	17-07-1980
		CA	1125778 <i>F</i>	15-06-1982
		CY	1353 A	24-04-1987
		. DE	2966635 [	08-03-1984
		DK	4280 <i>F</i>	A,B, 13-07-1980
		EP	0013768 A	
		ES	8101536 A	16-03-1981
		FI	800024 <i>F</i>	A ,B, 13-07-1980
		HK	40587 <i>F</i>	4 29-05-1987
		ΙE	49356 E	31 18-09-1985
		ΙL	59108 <i>l</i>	A . 31-07-1983
		JP	55094359 <i>l</i>	
		KE	. 3689 /	
		MY.	72687 <i>l</i>	
		NZ	192576	A 31-05-1984
		PH	17391 /	A 08-08-1984
		PT	70682	
		US	4428953	A 31-01-1984
		YU	6280	
		ZA	8000175	A 26-08-1981